

Trullas, R. and Skolnick, P. (1990) Functional antagonists at the NMDA receptor complex exhibit antidepressant actions. *Eur. J. Pharmacol.* 185, 1-10.

Tucker, et al. (1951) Apparent ionization exponents of 4-hydroxyquinoline, 4-methoxyquinoline and N-methyl-4-quinoline: evaluation of lactam-lactam tautomerism. *J. Am Chem. Soc.* 73, 1923-1928.

Vida, et al. (1977) *Anticonvulsants*, pp. 176-182. Academic Press, New York, NY.

Watkins, et al. (1990) Structure-activity relationships in the development of excitatory amino acid receptor agonists and competitive antagonists. *Trends Pharmacol. Sci.* 11, 25-33.

White, et al. (1989) Glycine binding to rat cortex and spinal cord: binding characteristics and pharmacology reveal distinct populations of sites. *J. Neurochem.* 53, 503-512.

Wong, et al. (1986) The anticonvulsant MK-801 is a potent N-methyl-D-aspartate antagonist. *Proc. Natl. Acad. Sci. USA* 83, 7104-7108.

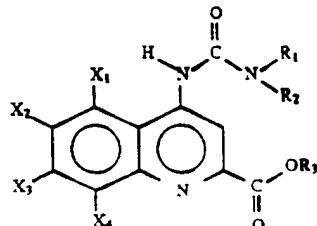
Wright, (1984) A simple one-pot conversion of alkyl 4-oxo-1,4-dihydroquinoline-2-carboxylates to 4-aminoquinoline-2-carboxylates using reactive isocyanates. *Synthesis*, 1058-1061.

Young, et al. (1988) *Science* 241, 981-983.

It is to be understood that the form of the invention described is a preferred embodiment thereof and that various changes and modifications may be made therein without departing from the spirit of the invention or scope as defined in the following claims.

Having set forth the nature of the invention, what is claimed is:

1. A compound of the formula:



wherein:

R<sub>1</sub> is selected from the group consisting of hydrogen, 45 ethyl, methyl, n-butyl, or phenyl;

R<sub>2</sub> is selected from the group consisting of hydrogen, ethyl, methyl, n-butyl, phenyl, or 3-methoxyphenyl;

R<sub>3</sub> is selected from the group consisting of ethyl, methyl, or hydrogen; 50

X<sub>1</sub> is selected from the group consisting of hydrogen, fluoro, chloro, bromo, iodo, nitro, cyano, fluoromethyl, any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms, any branched or straight- 55 chain alkoxy group containing from 1 to 4 carbon atoms, any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms, or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms; 60

X<sub>2</sub> is selected from the group consisting of hydrogen, fluoro, chloro, bromo, iodo, nitro, cyano, fluoromethyl, any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms, any branched or straight- 65 chain alkoxy group containing from 1 to 4 carbon atoms, any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms, or any

branched or straight-chained acyl group containing from 1 to 4 carbon atoms:

5         $X_3$  is selected from the group consisting of hydrogen, fluoro, chloro, bromo, iodo, nitro, cyano, fluoromethyl,

10      any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms, any branched or straight-chained alkoxy group containing from 1 to 4 carbon atoms, any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms, or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms; and

15       $X_4$  is selected from the group consisting of hydrogen, fluoro, chloro, bromo, iodo, nitro, cyano, fluoromethyl,

20      any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms, any branched or straight-chained alkoxy group containing from 1 to 4 carbon atoms, any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms, or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms.

2. A compound according to claim 1, wherein:

25       $R_1$  is ethyl;

25       $R_2$  is ethyl; and

25       $R_3$  is methyl.

3. A compound according to claim 1, wherein:

30       $R_1$  is ethyl;

30       $R_2$  is ethyl; and

30       $R_3$  is ethyl.

4. A compound according to claim 1, wherein:

35       $R_1$  is ethyl;

35       $R_2$  is ethyl; and

35       $R_3$  is hydrogen.

5. A compound according to claim 1, wherein:

40       $R_1$  is methyl;

40       $R_2$  is methyl; and

40       $R_3$  is ethyl.

6. A compound according to claim 1, wherein:

45       $R_1$  is methyl;

45       $R_2$  is methyl; and

45       $R_3$  is hydrogen.

7. A compound according to claim 1, wherein:

50       $R_1$  is n-butyl;

50       $R_2$  is n-butyl; and

50       $R_3$  is ethyl.

8. A compound according to claim 1, wherein:

55       $R_1$  is n-butyl;

55       $R_2$  is n-butyl; and

55       $R_3$  is hydrogen.

9. A compound according to claim 1, wherein:

55       $R_1$  is phenyl;

55       $R_2$  is phenyl; and

55       $R_3$  is ethyl.

10. A compound according to claim 1, wherein:

60       $R_1$  is phenyl;

60       $R_2$  is phenyl; and

60       $R_3$  is hydrogen.

11. A compound according to claim 1, wherein:

65       $R_1$  is phenyl;

65       $R_2$  is phenyl; and

65       $R_3$  is methyl.

## 15

12. A compound according to claim 1, wherein:

R<sub>1</sub> is phenyl:

R<sub>2</sub> is 3-methoxyphenyl; and

R<sub>3</sub> is ethyl.

13. A compound according to claim 1, wherein:

R<sub>1</sub> is phenyl; R<sub>2</sub> is methoxyphenyl; and R<sub>3</sub> is hydrogen.

14. A compound according to claim 1, wherein:

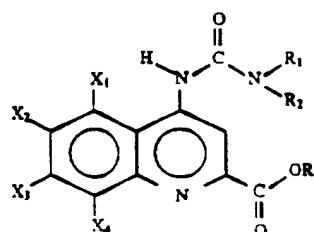
X<sub>1</sub> is chlorine:

X<sub>2</sub> is hydrogen:

X<sub>3</sub> is chlorine; and

X<sub>4</sub> is hydrogen.

15. A compound of the formula:



15

20

25

wherein:

R<sub>1</sub> is selected from the group consisting of hydrogen or any branched or straight-chained alkyl groups containing from 1 to 6 carbon atoms;

R<sub>2</sub> is selected from the group consisting of hydrogen or any branched or straight-chained alkyl groups containing from 1 to 6 carbon atoms;

R<sub>3</sub> is selected from the group consisting of ethyl, methyl, or hydrogen;

X<sub>1</sub> is selected from the group consisting of hydrogen, fluoro, chloro, bromo, iodo, nitro, cyano, fluoromethyl, any branched or straight-chained alkyl group contain-

0962501.8 - 072000

5        ing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms. or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms;

10       $X_2$  is selected from the group consisting of hydrogen. fluoro. chloro. bromo. iodo. nitro. cyano. fluoromethyl. any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms. or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms;

15       $X_3$  is selected from the group consisting of hydrogen. fluoro. chloro. bromo. iodo. nitro. cyano. fluoromethyl. any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms. or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms; and

20       $X_4$  is selected from the group consisting of hydrogen. fluoro. chloro. bromo. iodo. nitro. cyano. fluoromethyl. any branched or straight-chained alkyl group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy group containing from 1 to 4 carbon atoms. any branched or straight-chained alkoxy carbonyl group containing from 1 to 4 carbon atoms. or any branched or straight-chained acyl group containing from 1 to 4 carbon atoms.

25

30

35

\* \* \* \*